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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS 4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6	Mar 08	Gene Names now available in BIOSIS
NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12	Apr 08	"Ask CAS" for self-help around the clock
NEWS 13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14	Apr 09	ZDB will be removed from STN
NEWS 15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 18	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 19	Jun 03	New e-mail delivery for search results now available
NEWS 20	Jun 10	MEDLINE Reload
NEWS 21	Jun 10	PCTFULL has been reloaded

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

FILE 'HOME' ENTERED AT 15:05:22 ON 24 JUN 2002

=> file medline, biosis, dgene, embase, wpids

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'MEDLINE' ENTERED AT 15:05:50 ON 24 JUN 2002

FILE 'BIOSIS' ENTERED AT 15:05:50 ON 24 JUN 2002

FILE 'DGENE' ENTERED AT 15:05:50 ON 24 JUN 2002

FILE 'EMBASE' ENTERED AT 15:05:50 ON 24 JUN 2002

FILE 'WPIDS' ENTERED AT 15:05:50 ON 24 JUN 2002

=> s oxazolidinones

L1 1085 OXAZOLIDINONES

=> s l1 and linezolid

L2 274 L1 AND LINEZOLID

=> s l2 and eperezolid

L3 64 L2 AND EPEREZOLID

=> s prokaryotic elongation factor p or efp

L4 462 PROKARYOTIC ELONGATION FACTOR P OR EFP

=> s l4 and l3

L5 0 L4 AND L3

=> s l4 and fluorescence

L6 7 L4 AND FLUORESCENCE

=> s l4 and tryptophan

L7 3 L4 AND TRYPTOPHAN

=> d l6 ti abs ibib tot

L6 ANSWER 1 OF 7 MEDLINE
TI Transcriptional repression by RING finger protein TIF1 beta that interacts
with the KRAB repressor domain of KOX1.
AB Many of the vertebrate zinc finger factors of the Kruppel type (C2H2 zinc

fingers) contain in their N-terminus a conserved sequence referred to as the KRAB (Kruppel-associated box) domain that, when tethered to DNA, efficiently represses transcription. Using the yeast two-hybrid system, we have isolated an 835 amino acid RING finger (C3HC4 zinc finger) protein, TIF1 beta (also named KAP-1), that specifically interacts with the KRAB domain of the human zinc finger factor KOX1/ZNF10. TIF1 beta, TIF1 alpha, PML and **efp** belong to a characteristic subgroup of RING finger proteins that contain one or two other Cys/His-rich clusters (B boxes) and a putative coiled-coil in addition to the classical C3HC4 RING finger motif (RBCC configuration). Like TIF1 alpha, TIF1 beta also contains an additional Cys/His cluster (PHD finger) and a bromo-related domain. When tethered to DNA, TIF1 beta can repress transcription in transiently transfected mammalian cells both from promoter-proximal and remote (enhancer) positions, similarly to the KRAB domain itself. We propose that TIF1 beta is a mediator of the transcriptional repression exerted by the KRAB domain.

ACCESSION NUMBER: 97169206 MEDLINE
DOCUMENT NUMBER: 97169206 PubMed ID: 9016654
TITLE: Transcriptional repression by RING finger protein TIF1 beta that interacts with the KRAB repressor domain of KOX1.
AUTHOR: Moosmann P; Georgiev O; Le Douarin B; Bourquin J P; Schaffner W
CORPORATE SOURCE: Institut fur Molekularbiologie der Universitat, Abteilung II, Zurich, Switzerland.
SOURCE: NUCLEIC ACIDS RESEARCH, (1996 Dec 15) 24 (24) 4859-67. Journal code: 0411011. ISSN: 0305-1048.
PUB. COUNTRY: ENGLAND: United Kingdom
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
OTHER SOURCE: GENBANK-X97548
ENTRY MONTH: 199703
ENTRY DATE: Entered STN: 19970321
Last Updated on STN: 19970321
Entered Medline: 19970311

L6 ANSWER 2 OF 7 MEDLINE
TI Chromosome mapping of human (ZNF147) and mouse genes for estrogen-responsive finger protein (**efp**), a member of the RING finger family.
AB We have previously identified an estrogen-responsive gene, **efp** (estrogen-responsive finger protein), that encodes a putative zinc finger protein (Proc. Natl. Acad. Sci. USA 90: 11117-11121, 1993). The **efp** protein has a RING finger, a variant type of zinc finger motif, B1 box, and B2 box, each having a pair of zinc fingers, present in a family of apparent DNA-binding proteins. Some members of this family have transformation capabilities when found in chromosomal translocations.
Chromosome mapping of the **efp** gene by **fluorescence** in situ hybridization reveals that human **EFP** (ZNF147) is located at 17q23.1 and that mouse **Efp** is located at 11C. These results provide additional evidence that the mouse 11C region displays conserved synteny with the 17q23.1 region of the human genome.

ACCESSION NUMBER: 95309931 MEDLINE
DOCUMENT NUMBER: 95309931 PubMed ID: 7789997
TITLE: Chromosome mapping of human (ZNF147) and mouse genes for estrogen-responsive finger protein (**efp**), a member of the RING finger family.
AUTHOR: Inoue S; Orimo A; Matsuda Y; Inazawa J; Emi M; Nakamura Y; Hori T; Muramatsu M

CORPORATE SOURCE: Department of Biochemistry, Saitama Medical School, Japan.
SOURCE: GEN[redacted]CS, (1995 Jan 20) 25 (2) 581-3[redacted]
Journal code: 8800135. ISSN: 0888-7543.
PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199507
ENTRY DATE: Entered STN: 19950807
Last Updated on STN: 19950807
Entered Medline: 19950721

L6 ANSWER 3 OF 7 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI Chromosome mapping of human (ZNF147) and mouse genes for estrogen-responsive finger protein (**efp**), a member of the RING finger family.
AB We have previously identified an estrogen-responsive gene, **efp** (estrogen-responsive finger protein), that encodes a putative zinc finger protein (Proc. Natl. Acad. Sci. USA 90:11117-11121,1993). The **efp** protein has a RING finger, a variant type of zinc finger motif, B1 box, and B2 box, each having a pair of zinc fingers, present in a family of apparent DNA-binding proteins. Some members of this family have transformation capabilities when found in chromosomal translocations. Chromosome mapping of the **efp** gene by **fluorescence** in situ hybridization reveals that human **EFP** (ZNF147) is located at 17q23.1 and that mouse **Efp** is located at 11C. These results provide additional evidence that the mouse 11C region displays conserved synteny with the 17q23.1 region of the human genome.
ACCESSION NUMBER: 1995:171884 BIOSIS
DOCUMENT NUMBER: PREV199598186184
TITLE: Chromosome mapping of human (ZNF147) and mouse genes for estrogen-responsive finger protein (**efp**), a member of the RING finger family.
AUTHOR(S): Inoue, Satoshi; Orimo, Akira; Matsuda, Youichi; Inazawa, Johji; Emi, Mitsuru; Nakamura, Yusuke; Hori, Tada-Aki; Muramatsu, Masami (1)
CORPORATE SOURCE: (1) Dep. Biochemistry, Saitama Med. Sch., 38 Moro-Hongo, Moroyama-machi, Iruma-gun, Saitama 350-04 Japan
SOURCE: Genomics, (1995) Vol. 25, No. 2, pp. 581-583.
ISSN: 0888-7543.
DOCUMENT TYPE: Article
LANGUAGE: English

L6 ANSWER 4 OF 7 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
TI Functional alterations in the olfactory bulb of the staggerer mutant mouse.
AB Putative alterations of the functional activity in the staggerer mutant mouse olfactory bulb neuronal network have been studied by recording odor induced evoked field potentials (**EFP**) in the mitral cells layer. In standard conditions, the main feature observed in mutants was a significant increase in latency preceding the functional response of the mitral cells to the odorant. In these animals, all parameters of the average **EFP** were widely modified when compared with those recorded in wild mice. Amplitudes and most of the duration of the **EFP** phases were significantly decreased. Functional alterations were discussed according to the structural disorganization previously described in staggerer mutant mouse olfactory bulb. Copyright (C) 2000 Elsevier Science Ireland Ltd.
ACCESSION NUMBER: 2000047040 EMBASE
TITLE: Functional alterations in the olfactory bulb of the staggerer mutant mouse.
AUTHOR: Michel V.; Monnier Z.; Guastavino J.-M.; Propper A.; Math F.

CORPORATE SOURCE: V. Michel, Laboratoire Neurosciences, EA 1063, Universite Franche-Comte, Place Leclerc, 25030 Besancon, France. vin[redacted]yahoo.com
SOURCE: Neuroscience Letters, (2000) 280/1 (1-4).
Refs: 19
ISSN: 0304-3940 CODEN: NELED5
PUBLISHER IDENT.: S 0304-3940(99)00945-3
COUNTRY: Ireland
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 002 Physiology
008 Neurology and Neurosurgery
LANGUAGE: English
SUMMARY LANGUAGE: English

L6 ANSWER 5 OF 7 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
TI Localization of the human estrogen-responsive finger protein (**EFP**) gene (ZNF147) within a YAC contig containing the myeloperoxidase (MPO) gene.
ACCESSION NUMBER: 95263054 EMBASE
DOCUMENT NUMBER: 1995263054
TITLE: Localization of the human estrogen-responsive finger protein (**EFP**) gene (ZNF147) within a YAC contig containing the myeloperoxidase (MPO) gene.
AUTHOR: Law D.J.; Prasad M.A.; King S.E.; Spranger K.D.; Yoon Hee Lee; Fox R.E.; Collins E.E.; Gebuhr T.C.; Miller D.E.; Petty E.M.
CORPORATE SOURCE: Department of Human Genetics, Human Genome Center, University of Michigan,Ann Arbor, MI 48109, United States
SOURCE: Genomics, (1995) 28/2 (361-363).
ISSN: 0888-7543 CODEN: GNMCEP
COUNTRY: United States
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 022 Human Genetics
LANGUAGE: English

L6 ANSWER 6 OF 7 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
TI Chromosome mapping of human (ZNF147) and mouse genes for estrogen-responsive finger protein (**efp**), a member of the RING finger family.
AB We have previously identified an estrogen-responsive gene, **efp** (estrogen- responsive finger protein), that encodes a putative zinc finger protein (Proc. Natl. Acad. Sci. USA 90: 11117-11121, 1993). The **efp** protein has a RING finger, a variant type of zinc finger motif, B1 box, and B2 box, each having a pair of zinc fingers, present in a family of apparent DNA-binding proteins. Some members of this family have transformation capabilities when found in chromosomal translocations.
Chromosome mapping of the **efp** gene by **fluorescence** in situ hybridization reveals that human **EFP** (ZNF147) is located at 17q23.1 and that mouse **Efp** is located at 11C. These results provide additional evidence that the mouse 11C region displays conserved synteny with the 17q23.1 region of the human genome.
ACCESSION NUMBER: 95071796 EMBASE
DOCUMENT NUMBER: 1995071796
TITLE: Chromosome mapping of human (ZNF147) and mouse genes for estrogen- responsive finger protein (**efp**), a member of the RING finger family.
AUTHOR: Inoue S.; Orimo A.; Matsuda Y.; Inazawa J.; Emi M.; Nakamura Y.; Hori - T.A.; Muramatsu M.
CORPORATE SOURCE: Department of Biochemistry, Saitama Medical School, 38 Moro-Hongo, Moroyama-machi,Iruma-gun, Saitama 350-04, Japan
SOURCE: Genomics, (1995) 25/2 (581-583).

ISSN: 0888-7543 CODEN: GNMCEP
COUNTRY: United States
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 022 Human Genetics
LANGUAGE: English
SUMMARY LANGUAGE: English

L6 ANSWER 7 OF 7 WPIDS (C) 2002 THOMSON DERWENT
TI Identifying a compound which modulates the activity of **prokaryotic elongation factor p** (**efp**) for screening for compounds which can be used as antibiotics comprises contacting **efp** with a compound and determining if **efp** activity is modified.
AN 2000-524303 [47] WPIDS
AB WO 200045177 A UPAB: 20000925
NOVELTY - A method (M1) for identifying a compound which modulates the activity of **efp** comprises contacting **efp** with a compound and determining whether the compound modifies activity of **efp**.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) a method (M2) for identifying a compound which modulates **efp** activity comprising:

(a) contacting a cell containing **efp** with a compound identified by M1; and

(b) determining whether the compound inhibits cell growth;

(2) a method (M3) for identifying a compound which modulates **efp** activity comprising:

(a) contacting a composition comprising **efp**, N-formylmethionyl-tRNA (fMet-tRNA), 30S subunit, 50S, an mRNA containing an AUG sequence and initiation factors 1,2 and 3 with a compound; and

(b) determining whether the compound allows fMet-tRNA to bind to a complex formed through the interaction of **efp**, 30S subunit, 50S, an mRNA containing an AUG sequence and initiation factors 1,2 and 3;

(3) a method (M4) for identifying a compound which modulates **efp** activity comprising:

(a) contacting **efp** with prokaryotic 30S subunit or 70S ribosome to form a composition;

(b) contacting the composition with a compound; and

(c) determining whether the compound binds to **efp** in association with the 30S subunit or 70S ribosome or interferes with the binding of **efp** and the 30S subunit or 70S ribosome;

(4) a method (M5) for identifying a compound which modulates **efp** activity comprising:

(a) contacting **efp** with a composition comprising either 50S subunit or 70S ribosome, a tRNA fragment comprising CACCA-radiolabeled amino acid and a peptide bond donor to form a second composition;

(b) contacting the second composition with the compound; and

(c) determining whether the compound inhibits the first peptide bond reaction;

(5) a method (M6) for identifying a compound which modulates **efp** activity comprising:

(a) contacting a cell or composition containing **efp** with a detectably labelled oxazolidinone compound known to bind **efp**;

(b) contacting the composition or cell with an unlabelled compound; and

(c) determining whether the unlabelled compound displaces the labelled oxazolidinone compound from the complex;

(6) a method (M7) for identifying a compound which modulates **efp** but not eukaryotic eIF5A activity comprising:

(a) determining whether the compound modulates the activity of prokaryotic **efp** by M1 - M7;

(b) contacting eIF5A with a composition comprising methionyl-tRNA (Met-tRNA), 80S ribosome, an mRNA containing an AUG sequence, initiation

factors eIF-2, eIF-3, eIF-5, eIF-4C, eIF-4D and a peptide bond donor to form a second composition;

(c) contacting the second composition with a compound; and

(d) determining whether the compound inhibits the first peptide bond reaction of a complex formed through the interaction of eIF5A, Met-tRNA, 80S ribosome, an mRNA containing an AUG sequence, initiation factors eIF-2, eIF-3, eIF-5, eIF-4C and eIF-4D; and

(7) modulating the activity of prokaryotic **efp**, the 30S subunit, 50S subunit, 70S ribosome or L16 protein comprising contacting the **efp** or cell or cell preparation containing the **efp**, the 30S subunit, 50S subunit, 70S ribosome or L16 protein with an oxazolidinone compound.

USE - To screen for compounds which modulate ribosome mediated peptide bond formation. These screening assays can be used to discover new and useful antibiotics.

ADVANTAGE - This screening method is more rapid and direct than currently available methods.

Dwg.0/0

ACCESSION NUMBER: 2000-524303 [47] WPIDS
DOC. NO. NON-CPI: N2000-387540
DOC. NO. CPI: C2000-155724
TITLE: Identifying a compound which modulates the activity of **prokaryotic elongation factor p (efp)** for screening for compounds which can be used as antibiotics comprises contacting **efp** with a compound and determining if **efp** activity is modified.
DERWENT CLASS: B04 D16 S03
INVENTOR(S): MAROTTI, K R; POORMAN, R A; SHINABARGER, D L; WELLS, P A
PATENT ASSIGNEE(S): (PHAA) PHARMACIA & UPJOHN; (PHAA) PHARMACIA & UPJOHN CO
COUNTRY COUNT: 87
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000045177	A1	20000803	(200047)*	EN	52
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW					
AU 9942246	A	20000818	(200057)		
EP 1147422	A1	20011024	(200171)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000045177	A1	WO 1999-US12073	19990528
AU 9942246	A	AU 1999-42246	19990528
EP 1147422	A1	EP 1999-926086	19990528
		WO 1999-US12073	19990528

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9942246	A	Based on	WO 200045177
EP 1147422	A1	Based on	WO 200045177

PRIORITY APPLN. INFO: US 1999-117473P 19990127

=> d his

(FILE 'HOME' ENTERED AT 15:05:22 ON 24 JUN 2002)

FILE 'MEDLINE, BIOSIS, DGENE, EMBASE, WPIDS' ENTERED AT 15:05:50 ON 24 JUN 2002

L1 1085 S OXAZOLIDINONES
L2 274 S L1 AND LINEZOLID
L3 64 S L2 AND EPEREZOLID
L4 462 S PROKARYOTIC ELONGATION FACTOR P OR EFP
L5 0 S L4 AND L3
L6 7 S L4 AND FLUORESCENCE
L7 3 S L4 AND TRYPTOPHAN

=> d l7 ti abs ibib tot

L7 ANSWER 1 OF 3 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
TI EFFECTS OF A SUPERACTIVE LUTEINIZING HORMONE RELEASING FACTOR AGONIST ON GONADOTROPIN AND OVARIAN FUNCTION DURING THE MENSTRUAL CYCLE.
AB The effect of a potent luteinizing hormone-releasing factor (LRF) agonist (D-Trp6-Pro9 NEt)-LRF on pituitary gonadotropin release and its concomitant ovarian response was examined in normal women during the early follicular (**EFP**), late follicular (LFP) and midluteal (MLP) phases. A single s.c. injection of 50 .mu.g of LRF agonist in subjects during the **EFP** caused prompt release of luteinizing hormone (LH) and follicle-stimulating hormone (FSH) to levels comparable to those found during spontaneous midcycle gonadotropin surges, while in LFP subjects gonadotropin levels rose 1 1/2 to 2 times above the levels of midcycle surges. The LH/FSH release in the MLP was almost identical to that found in the **EFP**. The ovarian response as measured by increasing estradiol levels followed a similar pattern during the 48 h after injection in all 3 phases of the cycle. The inappropriate gonadotropin surge induced by LRF agonist in **EFP** subjects resulted in prolonged follicular phases and anovulation. Three of 4 subjects in the LFP showed evidence of ovulation in response to the same dose of LRF agonist. The pharmacodynamics and gonadotropin-ovarian responses to this potent LRF agonist reported here should provide an important reference for systematic investigation and rational clinical application.
ACCESSION NUMBER: 1980:171373 BIOSIS
DOCUMENT NUMBER: BA69:46369
TITLE: EFFECTS OF A SUPERACTIVE LUTEINIZING HORMONE RELEASING FACTOR AGONIST ON GONADOTROPIN AND OVARIAN FUNCTION DURING THE MENSTRUAL CYCLE.
AUTHOR(S): SHEEHAN K L; CASPER R F; YEN S S C
CORPORATE SOURCE: DEP. REPROD. MED., SCH. MED., UNIV. CALIF. SAN DIEGO, LA JOLLA., CALIF. 92093, USA.
SOURCE: AM J OBSTET GYNECOL, (1979) 135 (6), 759-763.
CODEN: AJOGAH. ISSN: 0002-9378.
FILE SEGMENT: BA; OLD
LANGUAGE: English

L7 ANSWER 2 OF 3 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
TI Effects of a superactive luteinizing hormone - releasing factor agonist on gonadotropin and ovarian function during the menstrual cycle.
AB The effect of a potent luteinizing hormone-releasing factor (LRF) agonist (D-Trp6,Pro9 NEt)-LRF on pituitary gonadotropin release and its

concomitant ovarian response was examined in normal women during the early follicular (**EFP**), late follicular (LFP), and midluteal (MLP) phases. A single subcutaneous injection of 50 .mu.g of LRF agonist in subjects during the **EFP** caused prompt release of luteinizing hormone (LH) and follicle-stimulating hormone (FSH) to levels comparable to those found during spontaneous midcycle gonadotropin surges, while in LFP subjects gonadotropin levels rose 11/2 to 2 times above the levels of midcycle surges. The LH/FSH release in the MLP was almost identical to that found in the **EFP**. The ovarian response as measured by increasing estradiol levels followed a similar pattern during the 48 hours after injection in all three phases of the cycle. The inappropriate gonadotropin surge induced by LRF agonist in **EFP** subjects resulted in prolonged follicular phases and anovulation. Three of four subjects in the LFP showed evidence of ovulation in response to the same dose of LRF agonist. The pharmacodynamics of gonadotropin-ovarian responses to this potent LRF agonist reported here should provide an important reference for systematic investigation and rational clinical application.

ACCESSION NUMBER: 80016881 EMBASE
DOCUMENT NUMBER: 1980016881
TITLE: Effects of a superactive luteinizing hormone - releasing factor agonist on gonadotropin and ovarian function during the menstrual cycle.
AUTHOR: Sheehan K.L.; Casper R.F.; Yen S.S.C.
CORPORATE SOURCE: Dept. Reprod. Med., Sch. Med., Univ. California, San Diego,
La Jolla, Calif. 92093, United States
SOURCE: American Journal of Obstetrics and Gynecology, (1979) 135/6
(759-763).
CODEN: AJOGAH
COUNTRY: United States
DOCUMENT TYPE: Journal
FILE SEGMENT: 037 Drug Literature Index
010 Obstetrics and Gynecology
003 Endocrinology
LANGUAGE: English

L7 ANSWER 3 OF 3 WPIDS (C) 2002 THOMSON DERWENT
TI Identifying a compound which modulates the activity of **prokaryotic elongation factor p** (**efp**) for screening for compounds which can be used as antibiotics comprises contacting **efp** with a compound and determining if **efp** activity is modified.
AN 2000-524303 [47] WPIDS
AB WO 200045177 A UPAB: 20000925
NOVELTY - A method (M1) for identifying a compound which modulates the activity of **efp** comprises contacting **efp** with a compound and determining whether the compound modifies activity of **efp**.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) a method (M2) for identifying a compound which modulates **efp** activity comprising:

(a) contacting a cell containing **efp** with a compound identified by M1; and

(b) determining whether the compound inhibits cell growth;

(2) a method (M3) for identifying a compound which modulates **efp** activity comprising:

(a) contacting a composition comprising **efp**, N-formylmethionyl-tRNA (fMet-tRNA), 30S subunit, 50S, an mRNA containing an AUG sequence and initiation factors 1,2 and 3 with a compound; and

(b) determining whether the compound allows fMet-tRNA to bind to a complex formed through the interaction of **efp**, 30S subunit, 50S, an mRNA containing an AUG sequence and initiation factors 1,2 and 3;

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(a) contacting **efp** with prokaryotic 30S subunit or 70S ribosome to form a composition;

(b) contacting the composition with a compound; and

(c) determining whether the compound binds to **efp** in association with the 30S subunit or 70S ribosome or interferes with the binding of **efp** and the 30S subunit or 70S ribosome;

(4) a method (M5) for identifying a compound which modulates **efp** activity comprising:

(a) contacting **efp** with a composition comprising either 50S subunit or 70S ribosome, a tRNA fragment comprising CACCA-radiolabeled amino acid and a peptide bond donor to form a second composition;

(b) contacting the second composition with the compound; and

(c) determining whether the compound inhibits the first peptide bond reaction;

(5) a method (M6) for identifying a compound which modulates **efp** activity comprising:

(a) contacting a cell or composition containing **efp** with a detectably labelled oxazolidinone compound known to bind **efp**;

(b) contacting the composition or cell with an unlabelled compound; and

(c) determining whether the unlabelled compound displaces the labelled oxazolidinone compound from the complex;

(6) a method (M7) for identifying a compound which modulates **efp** but not eukaryotic eIF5A activity comprising:

(a) determining whether the compound modulates the activity of prokaryotic **efp** by M1 - M7;

(b) contacting eIF5A with a composition comprising methionyl-tRNA (Met-tRNA), 80S ribosome, an mRNA containing an AUG sequence, initiation factors eIF-2, eIF-3, eIF-5, eIF-4C, eIF-4D and a peptide bond donor to form a second composition;

(c) contacting the second composition with a compound; and

(d) determining whether the compound inhibits the first peptide bond reaction of a complex formed through the interaction of eIF5A, Met-tRNA, 80S ribosome, an mRNA containing an AUG sequence, initiation factors eIF-2, eIF-3, eIF-5, eIF-4C and eIF-4D; and

(7) modulating the activity of prokaryotic **efp**, the 30S subunit, 50S subunit, 70S ribosome or L16 protein comprising contacting the **efp** or cell or cell preparation containing the **efp**, the 30S subunit, 50S subunit, 70S ribosome or L16 protein with an oxazolidinone compound.

USE - To screen for compounds which modulate ribosome mediated peptide bond formation. These screening assays can be used to discover new and useful antibiotics.

ADVANTAGE - This screening method is more rapid and direct than currently available methods.

Dwg.0/0

ACCESSION NUMBER: 2000-524303 [47] WPIDS
DOC. NO. NON-CPI: N2000-387540
DOC. NO. CPI: C2000-155724
TITLE: Identifying a compound which modulates the activity of **prokaryotic elongation factor p** (**efp**) for screening for compounds which can be used as antibiotics comprises contacting **efp** with a compound and determining if **efp** activity is modified.
DERWENT CLASS: B04 D16 S03
INVENTOR(S): MAROTTI, K R; POORMAN, R A; SHINABARGER, D L; WELLS, P A
PATENT ASSIGNEE(S): (PHAA) PHARMACIA & UPJOHN; (PHAA) PHARMACIA & UPJOHN CO
COUNTRY COUNT: 87

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000045177	A1	20000803	(200047)*	EN	52
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW					
AU 9942246	A	20000818	(200057)		
EP 1147422	A1	20011024	(200171)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000045177	A1	WO 1999-US12073	19990528
AU 9942246	A	AU 1999-42246	19990528
EP 1147422	A1	EP 1999-926086	19990528
		WO 1999-US12073	19990528

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9942246	A	Based on	WO 200045177
EP 1147422	A1	Based on	WO 200045177

PRIORITY APPLN. INFO: US 1999-117473P 19990127

=> d his

(FILE 'HOME' ENTERED AT 15:05:22 ON 24 JUN 2002)

FILE 'MEDLINE, BIOSIS, DGENE, EMBASE, WPIDS' ENTERED AT 15:05:50 ON 24 JUN 2002

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L1          1085 S OXAZOLIDINONES
L2           274 S L1 AND LINEZOLID
L3            64 S L2 AND EPEREZOLID
L4           462 S PROKARYOTIC ELONGATION FACTOR P OR EFP
L5             0 S L4 AND L3
L6             7 S L4 AND FLUORESCENCE
L7             3 S L4 AND TRYPTOPHAN
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=> d l3 ti abs ibib 1-10

L3 ANSWER 1 OF 64 MEDLINE

TI Carbon-carbon-linked (pyrazolylphenyl)**oxazolidinones** with antibacterial activity against multiple drug resistant gram-positive and fastidious gram-negative bacteria.

AB In an effort to expand the spectrum of activity of the oxazolidinone class of antibacterial agents to include Gram-negative bacteria, a series of new carbon-carbon linked pyrazolylphenyl analogues has been prepared. The alpha-N-substituted methyl pyrazole (10alpha) in the C3-linked series exhibited very good Gram-positive activity with MICs <or=0.5-1 microg/mL

and moderate Gram-negative activity with MICs=2-8 microg/mL against Haemophilus influenzae and Moraxella catarrhalis. This analogue was also found to have pote[redacted] in vivo activity with an ED(5[redacted]1.9 mg/kg. Beta-substitution at the C3-linked pyrazole generally results in a loss of activity. The C4-linked pyrazoles are slightly more potent than their counterparts in the C3-linked series. Most of the analogues in the C4-linked series exhibited similar levels of activity in vitro, but lower levels of activity in vivo than 10alpha. In addition, incorporation of a thioamide moiety in selected C4-linked pyrazole analogues results in an enhancement of in vitro activity leading to compounds several times more potent than **eperezolid**, **linezolid** and vancomycin. The thioamide of the N-cyanomethyl pyrazole analogue (34) exhibited an exceptional in vitro activity with MICs of <or= 0.06-0.25 microg/mL against Gram-positive pathogens and with MICs of 1 microg/mL against fastidious Gram-negative pathogens.

ACCESSION NUMBER: 2001688837 MEDLINE
DOCUMENT NUMBER: 21567736 PubMed ID: 11711300
TITLE: Carbon-carbon-linked (pyrazolylphenyl) **oxazolidinones** with antibacterial activity against multiple drug resistant gram-positive and fastidious gram-negative bacteria.
AUTHOR: Lee C S; Allwine D A; Barbachyn M R; Grega K C; Dolak L A; Ford C W; Jensen R M; Seest E P; Hamel J C; Schaadt R D; Stapert D; Yagi B H; Zurenko G E; Genin M J
CORPORATE SOURCE: Combinatorial and Medicinal Chemistry Research, Pharmacia Corporation, Kalamazoo, MI 49001, USA.
SOURCE: BIOORGANIC AND MEDICINAL CHEMISTRY, (2001 Dec) 9 (12) 3243-53.
Journal code: 9413298. ISSN: 0968-0896.
PUB. COUNTRY: England: United Kingdom
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200203
ENTRY DATE: Entered STN: 20011210
Last Updated on STN: 20020317
Entered Medline: 20020315

L3 ANSWER 2 OF 64 MEDLINE
TI Susceptibility of Helicobacter pylori to mupirocin, **oxazolidinones**, quinupristin/dalfopristin and new quinolones.
AB The in vitro activities of mupirocin, quinupristin/dalfopristin, **linezolid**, **eperezolid**, sitafloxacin, clinafloxacin, moxifloxacin, amoxycillin, metronidazole and clarithromycin were tested at pH 7.4 against 57 strains of Helicobacter pylori. The most active agents (mupirocin, sitafloxacin and clinafloxacin) were also tested for activity at pH 5.4 against the same strains. Mupirocin was very active at pH 7.4 and 5.4 (MIC90 0.25 and 0.12 mg/L, respectively). Quinupristin/dalfopristin, **linezolid** and **eperezolid** had low activity (MIC90 4, 8 and 4 mg/L, respectively). Sitafloxacin (MIC90 </= 0.008 mg/L) was the most active fluoroquinolone, while clinafloxacin (MIC90 0.12 mg/L) and moxifloxacin (MIC90 2 mg/L) were least active.

ACCESSION NUMBER: 2000495877 MEDLINE
DOCUMENT NUMBER: 20391945 PubMed ID: 10933654
TITLE: Susceptibility of Helicobacter pylori to mupirocin, **oxazolidinones**, quinupristin/dalfopristin and new quinolones.
AUTHOR: Sanchez J E; Saenz N G; Rincon M R; Martin I T; Sanchez E G; Martinez M J
CORPORATE SOURCE: Departamento de Microbiologia and Servicio de Medicina

Interna, Hospital Universitario, Paseo de San Vicente 108, 37007 Salamanca, Spain.. joegas@gugu.usal.es
SOURCE: JOURNAL OF ANTIMICROBIAL CHEMOTHERAPY (2000 Aug) 46 (2) 283-5.
Journal code: 7513617. ISSN: 0305-7453.
PUB. COUNTRY: ENGLAND: United Kingdom
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200010
ENTRY DATE: Entered STN: 20001027
Last Updated on STN: 20001027
Entered Medline: 20001019

L3 ANSWER 3 OF 64 MEDLINE
TI MICs of **oxazolidinones** for Rhodococcus equi strains isolated from humans and animals.
AB **Eperezolid** and **linezolid** are representatives of a new class of orally active, synthetic antimicrobial agents. The in vitro activity values (MICs) of **linezolid**, **eperezolid**, and comparator antibiotics against 102 strains of Rhodococcus equi isolated from humans and animals were determined. **Linezolid** was more active than **eperezolid** against the strains tested; premafloxacin was the most active comparator antibiotic.
ACCESSION NUMBER: 2000233614 MEDLINE
DOCUMENT NUMBER: 20233614 PubMed ID: 10770781
TITLE: MICs of **oxazolidinones** for Rhodococcus equi strains isolated from humans and animals.
AUTHOR: Bowersock T L; Salmon S A; Portis E S; Prescott J F; Robison D A; Ford C W; Watts J L
CORPORATE SOURCE: Animal Health Therapeutics Research, Pharmacia & Upjohn, Kalamazoo, Michigan 49001, USA..
Terry.L.Bowersock@am.pnu.com
SOURCE: ANTIMICROBIAL AGENTS AND CHEMOTHERAPY, (2000 May) 44 (5) 1367-9.
Journal code: 0315061. ISSN: 0066-4804.
PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200005
ENTRY DATE: Entered STN: 20000525
Last Updated on STN: 20020420
Entered Medline: 20000518

L3 ANSWER 4 OF 64 MEDLINE
TI Substituent effects on the antibacterial activity of nitrogen-carbon-linked (azolylphenyl)**oxazolidinones** with expanded activity against the fastidious gram-negative organisms Haemophilus influenzae and Moraxella catarrhalis.
AB A series of new nitrogen-carbon-linked (azolylphenyl)oxazolidinone antibacterial agents has been prepared in an effort to expand the spectrum of activity of this class of antibiotics to include Gram-negative organisms. Pyrrole, pyrazole, imidazole, triazole, and tetrazole moieties have been used to replace the morpholine ring of **linezolid** (2). These changes resulted in the preparation of compounds with good activity against the fastidious Gram-negative organisms Haemophilus influenzae and Moraxella catarrhalis. The unsubstituted pyrrolyl analogue 3 and the 1H-1,2,3-triazolyl analogue 6 have MICs against H. influenzae = 4 microgram/mL and M. catarrhalis = 2 microgram/mL. Various substituents were also placed on the azole moieties in order to study their effects on antibacterial activity in vitro and in vivo. Interesting differences in activity were observed for many analogues that cannot be rationalized

solely on the basis of sterics and position/number of nitrogen atoms in the azole ring. Differences in activity rely strongly on subtle changes in the electronic character of the overall azole systems. Aldehyde, aldoxime, and cyano azoles generally led to dramatic improvements in activity against both Gram-positive and Gram-negative bacteria relative to unsubstituted counterparts. However, amide, ester, amino, hydroxy, alkoxy, and alkyl substituents resulted in no improvement or a loss in antibacterial activity. The placement of a cyano moiety on the azole often generates analogues with interesting antibacterial activity in vitro and in vivo. In particular, the 3-cyanopyrrole, 4-cyanopyrazole, and 4-cyano-1H-1,2,3-triazole congeners 28, 50, and 90 had S. aureus MICs </= 0.5-1 microgram/mL and H. influenzae and M. catarrhalis MICs = 2-4 microgram/mL. These analogues are also very effective versus S. aureus and S. pneumoniae in mouse models of human infection with ED(50)s in the range of 1. 2-1.9 mg/kg versus 2.8-4.0 mg/kg for the **eperezolid** (1) control.

ACCESSION NUMBER: 2000181850 MEDLINE
DOCUMENT NUMBER: 20181850 PubMed ID: 10715160
TITLE: Substituent effects on the antibacterial activity of nitrogen-carbon-linked (azolylphenyl)**oxazolidinones** with expanded activity against the fastidious gram-negative organisms Haemophilus influenzae and Moraxella catarrhalis.
AUTHOR: Genin M J; Allwine D A; Anderson D J; Barbachyn M R; Emmert D E; Garmon S A; Graber D R; Grega K C; Hester J B; Hutchinson D K; Morris J; Reischer R J; Ford C W; Zurenko G E; Hamel J C; Schaadt R D; Stapert D; Yagi B H
CORPORATE SOURCE: Pharmacia & Upjohn, Inc., Kalamazoo, Michigan 49001, USA.. michael.j.genin@am.pnu.com
SOURCE: JOURNAL OF MEDICINAL CHEMISTRY, (2000 Mar 9) 43 (5) 953-70.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200004
ENTRY DATE: Entered STN: 20000421
Last Updated on STN: 20000421
Entered Medline: 20000413

L3 ANSWER 5 OF 64 MEDLINE
TI Activities of the **oxazolidinones linezolid** and **eperezolid** in experimental intra-abdominal abscess due to Enterococcus faecalis or vancomycin-resistant Enterococcus faecium.
AB The in vivo effectiveness of **oxazolidinones eperezolid** (U-100592) and **linezolid** (U-100766) against one strain each of Enterococcus faecalis and vancomycin-resistant Enterococcus faecium was examined in a rat model of intra-abdominal abscess. MICs of both drugs were 2 microg/ml for each strain. At doses of 25 mg/kg of body weight twice daily intravenously or orally, **linezolid** produced small but statistically significant reductions in abscess bacterial density for E. faecalis. The reduction in viable cells observed would not likely be clinically relevant. **Eperezolid** was ineffective at this dose. At a dosage of 100 mg/kg/day, **linezolid** treatment led to an

approximately 100-fold reduction in viable cells per gram of abscess. Against E. faecium infections, intravenous **eperezolid** and oral **linezolid** were effective, reducing densities approximately 2 log(10) CFU/g. Both **oxazolidinones** demonstrated activity against enterococci in this model. However, results were modest with the dosing regimens employed.

ACCESSION NUMBER: 2000049910 MEDLINE
DOCUMENT NUMBER: 20049910 PubMed ID: 10582874
TITLE: Activities of the **oxazolidinones** **linezolid** and **eperezolid** in experimental intra-abdominal abscess due to Enterococcus faecalis or vancomycin-resistant Enterococcus faecium.
AUTHOR: Schulin T; Thauvin-Eliopoulos C; Moellering R C Jr; Eliopoulos G M
CORPORATE SOURCE: Department of Medicine, Beth Israel Deaconess Medical Center, Boston, Massachusetts 02215, and Harvard Medical School, Boston, Massachusetts 02115, USA.
SOURCE: ANTIMICROBIAL AGENTS AND CHEMOTHERAPY, (1999 Dec) 43 (12) 2873-6.
Journal code: 0315061. ISSN: 0066-4804.
PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200001
ENTRY DATE: Entered STN: 20000204
Last Updated on STN: 20020420
Entered Medline: 20000121

L3 ANSWER 6 OF 64 MEDLINE
TI In vitro susceptibilities of Bordetella pertussis and Bordetella parapertussis to the novel **oxazolidinones** **eperezolid** (PNU-100592) and **linezolid** (PNU-100766).

ACCESSION NUMBER: 1999362039 MEDLINE
DOCUMENT NUMBER: 99362039 PubMed ID: 10435686
TITLE: In vitro susceptibilities of Bordetella pertussis and Bordetella parapertussis to the novel **oxazolidinones** **eperezolid** (PNU-100592) and **linezolid** (PNU-100766).
AUTHOR: Hoppe J E
SOURCE: JOURNAL OF CHEMOTHERAPY, (1999 Jun) 11 (3) 220-1.
Journal code: 8907348. ISSN: 1120-009X.
PUB. COUNTRY: Italy
Letter
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199909
ENTRY DATE: Entered STN: 19991012
Last Updated on STN: 20020420
Entered Medline: 19990928

L3 ANSWER 7 OF 64 MEDLINE
TI In vitro activities of the oxazolidinone compounds **linezolid** (PNU-100766) and eperzolid (PNU-100592) against middle ear isolates of Streptococcus pneumoniae.
AB Two hundred and sixteen isolates of Streptococcus pneumoniae recovered between 1994 and 1996 from the middle ears of children with acute otitis media were tested for their susceptibility to penicillin, erythromycin, clindamycin and the **oxazolidinones**, **linezolid** (PNU-100766) and **eperezolid** (PNU-100592). There were 116 isolates from the Children's Hospital of Pittsburgh and 100 isolates from a national collection. Eighty percent of the local strains were susceptible to penicillin (MIC < 0.1 mg/l); 20% of the local strains and all of the national strains had reduced susceptibility to penicillin. All

strains of S. pneumoniae tested had an MIC < 2.0 mg/l for both **oxazolidinones**. A regional difference was noted in the frequency of resistance to erythromycin with local isolates being more susceptible than isolates from the national collection. This difference was most pronounced among the high-level penicillin-resistant strains of S. pneumoniae.

ACCESSION NUMBER: 1999345100 MEDLINE
DOCUMENT NUMBER: 99345100 PubMed ID: 10418759
TITLE: In vitro activities of the oxazolidinone compounds **linezolid** (PNU-100766) and eperzolid (PNU-100592) against middle ear isolates of Streptococcus pneumoniae.
AUTHOR: Kearney J A; Barbadora K; Mason E O; Wald E R; Green M
CORPORATE SOURCE: Department of Pediatrics, Childrens Hospital Pittsburgh, University of Pittsburgh School of Medicine, PA 15213, USA.
SOURCE: INTERNATIONAL JOURNAL OF ANTIMICROBIAL AGENTS, (1999 Jul) 12 (2) 141-4.
Journal code: 9111860. ISSN: 0924-8579.
PUB. COUNTRY: Netherlands
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199909
ENTRY DATE: Entered STN: 19990913
Last Updated on STN: 20020420
Entered Medline: 19990902

L3 ANSWER 8 OF 64 MEDLINE
TI Activities of several novel **oxazolidinones** against Mycobacterium tuberculosis in a murine model.
AB The activities of **linezolid**, **eperezolid**, and PNU-100480 were evaluated in a murine model of tuberculosis. Approximately 10(7) viable Mycobacterium tuberculosis ATCC 35801 organisms were given intravenously to 4-week-old outbred CD-1 mice. In the first study, treatment was started 1 day postinfection and was given by gavage for 4 weeks. Viable cell counts were determined from homogenates of spleens and lungs. PNU-100480 was as active as isoniazid. **Linezolid** was somewhat less active than PNU-100480 and isoniazid. **Eperezolid** had little activity in this model. In the next two studies, treatment was started 1 week postinfection. A dose-response study was performed with PNU-100480 and **linezolid** (both at 25, 50, and 100 mg/kg of body weight). PNU-100480 was more active than **linezolid**, and its efficacy increased with an escalation of the dose. Subsequently, the activity of PNU-100480 alone and in combination with rifampin or isoniazid was evaluated and was compared to that of isoniazid-rifampin. The activity of PNU-100480 was similar to that of isoniazid and/or rifampin in the various combinations tested. Further evaluation of these **oxazolidinones** in the murine test system would be useful prior to the development of clinical studies with humans.

ACCESSION NUMBER: 1999240364 MEDLINE
DOCUMENT NUMBER: 99240364 PubMed ID: 10223934
TITLE: Activities of several novel **oxazolidinones** against Mycobacterium tuberculosis in a murine model.
AUTHOR: Cynamon M H; Klemens S P; Sharpe C A; Chase S
CORPORATE SOURCE: Veteran Affairs Medical Center and State University of New York Health Science Center, Syracuse, New York 13210, USA..
Cynamon.Michael@Syracuse.VA.Gov
SOURCE: ANTIMICROBIAL AGENTS AND CHEMOTHERAPY, (1999 May) 43 (5) 1189-91.
Journal code: 0315061. ISSN: 0066-4804.

PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199905
ENTRY DATE: Entered STN: 19990607
Last Updated on STN: 20020420
Entered Medline: 19990527

L3 ANSWER 9 OF 64 MEDLINE
TI Piperazinyl oxazolidinone antibacterial agents containing a pyridine, diazene, or triazene heteroaromatic ring.
AB **Oxazolidinones** are a novel class of synthetic antibacterial agents active against gram-positive organisms including methicillin-resistant Staphylococcus aureus as well as selected anaerobic organisms. Important representatives of this class include the morpholine derivative **linezolid** 2, which is currently in phase III clinical trials, and the piperazine derivative **eperezolid** 3. As part of an investigation of the structure-activity relationships of structurally related **oxazolidinones**, we have prepared and evaluated the antibacterial properties of a series of piperazinyl **oxazolidinones** in which the distal nitrogen of the piperazinyl ring is substituted with a six-membered heteroaromatic ring. Compounds having MIC values </= 2 &mgr;g/mL vs selected gram-positive pathogens were discovered among each of the pyridine, pyridazine, and pyrimidine structural classes. Among these the cyanopyridine 17, the pyridazines 25 and 26, and the pyrimidine 31 exhibited in vivo potency vs S. aureus comparable to that of **linezolid**.
ACCESSION NUMBER: 1998404137 MEDLINE
DOCUMENT NUMBER: 98404137 PubMed ID: 9733498
TITLE: Piperazinyl oxazolidinone antibacterial agents containing a pyridine, diazene, or triazene heteroaromatic ring.
AUTHOR: Tucker J A; Allwine D A; Grega K C; Barbachyn M R; Klock J L; Adamski J L; Brickner S J; Hutchinson D K; Ford C W; Zurenko G E; Conradi R A; Burton P S; Jensen R M
CORPORATE SOURCE: Discovery Research, Pharmacia & Upjohn, 7000 Portage Road, Kalamazoo, Michigan 49001, USA.
SOURCE: JOURNAL OF MEDICINAL CHEMISTRY, (1998 Sep 10) 41 (19) 3727-35.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199810
ENTRY DATE: Entered STN: 19981020
Last Updated on STN: 20020420
Entered Medline: 19981008

L3 ANSWER 10 OF 64 MEDLINE
TI The pharmacologic and bacteriologic properties of **oxazolidinones**, a new class of synthetic antimicrobials.
AB The **oxazolidinones** are a new synthetic class of antimicrobials structurally unrelated to any agent presently marketed. Data pertaining to these compounds, with respect to their pharmacology, pharmacokinetics, pharmacodynamics, mechanism of action, and bacteriologic activity, focusing on the analogs **linezolid** (PNU 100766) and **eperezolid** (PNU 100592), were retrieved by MEDLINE search and review of relevant abstracts presented at recent clinical conferences. Since the drugs are still investigational, we obtained in vitro and animal

data as well as available human studies. The **oxazolidinones** have bacteriostatic activity against a number of important pathogens including methicillin-resistant Staphylococcus aureus, penicillin-resistant Streptococcus pneumoniae, and vancomycin-resistant enterococci. They appear to be efficacious and well tolerated both orally and parenterally. Their role remains to be elucidated by clinical trials.

ACCESSION NUMBER: 1998281407 MEDLINE
DOCUMENT NUMBER: 98281407 PubMed ID: 9620097
TITLE: The pharmacologic and bacteriologic properties of **oxazolidinones**, a new class of synthetic antimicrobials.
AUTHOR: Dresser L D; Rybak M J
CORPORATE SOURCE: Department of Pharmacy Practice, College of Pharmacy and Allied Health Professions, Wayne State University, Detroit, Michigan, USA.
SOURCE: PHARMACOTHERAPY, (1998 May-Jun) 18 (3) 456-62. Ref: 20
Journal code: 8111305. ISSN: 0277-0008.
PUB. COUNTRY: United States
Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
(REVIEW, TUTORIAL)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199807
ENTRY DATE: Entered STN: 19980723
Last Updated on STN: 20020420
Entered Medline: 19980713